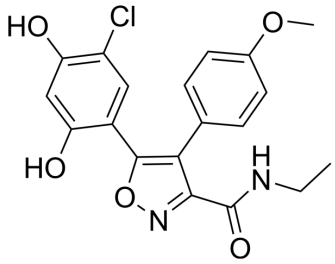
VER-50589

Cat. No.:	HY-15984
CAS No.:	747413-08-7
Molecular Formula:	$C_{19}H_{17}ClN_2O_5$
Molecular Weight:	388.8
Target:	HSP; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 48 mg/mL (123.46 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5720 mL	12.8601 mL	25.7202 mL
5 mM	0.5144 mL	2.5720 mL	5.1440 mL
10 mM	0.2572 mL	1.2860 mL	2.5720 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

VER-50589 is a Hsp90 inhibitor, with an IC_{50} of 21 nM and a K_d of 4.5 nM.

IC_{50} & Target

HSP90

21 nM (IC_{50})

In Vitro

VER-50589 is a Hsp90 inhibitor, with an IC_{50} of 21 nM and a K_d of 4.5 nM. VER-50589 inhibits intrinsic ATPase of full-length recombinant yeast Hsp90, with an IC_{50} of 143 ± 23 nM in the presence of 400 μM ATP. VER-50589 shows antiproliferative activities against various human cancer cells, with the lowest GI_{50} of 32.7 ± 0.2 nM for CH1 human ovarian cells, and mean GI_{50} of 78 ± 15 nM. VER-50589 suppressses the proliferation of human umbilical vein endothelial cells (HUVEC) with GI_{50} value of 19 ± 2.4 nM, and shows higher GI_{50}s against nontumorigenic human breast (MCF10a) and prostate (PNT2) epithelial cells. Furthermore, VER-50589 displays no differences in cellular activities of isogenic cell lines, and these activities are independent of NQO1 expression. VER-50589 also causes G1 and G2-M block (115 or 575 nM) and induces cytostasis in HCT116 colon cancer cells. In addition, VER-50589 causes great uptake in HCT116 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	VER-50589 (4 mg/kg, i.p.) exerts a complete HSP90 inhibition in the athymic mice bearing well-established OVCAR3 human ovarian ascites tumors. VER-50589 (100 mg/kg, i.p.) shows reduced tumor volume and tumor weights in the HCT116 colon carcinoma xenografts compared to the control mice group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HCT116 and HT29 human colon cancer cells are seeded and left to attach overnight. Vehicle control or compound (VER-50589) is added for 1, 4, and 24 h. Attached cells are collected and counted by hemacytometer. Incubation medium (1 mL) and cell pellets are frozen at −80°C until mass spectrometry analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	HCT116 cells (2-5 million cells per site) are injected s.c. in the flanks of 6- to 8-week-old female NCr athymic mice. Dosing commenced when tumors are well established (-5-6 mm diameter). For combined therapy and pharmacokinetic and pharmacodynamic studies, mice bearing HCT116 xenografts are administered 100 mg/kg VER-50589 i.p. per day for 9 days. Tumor volumes are calculated. On study termination, blood samples are taken, and plasma is separated and stored −80°C. Tumors are excised, weighed, and snap frozen at −80°C[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Theranostics. 2019 Aug 12;9(20):5769-5783.

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REFERENCES

[1]. Sharp SY, et al. Inhibition of the heat shock protein 90 molecular chaperone in vitro and in vivo by novel, synthetic, potent resorcinylic pyrazole/isoxazole amide analogues. Mol Cancer Ther. 2007 Apr;6(4):1198-211.

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